

L Number	Hits	Search Text	DB	Time stamp
1	2202	("514/183,252.10,255.06").CCLS	USPAT	2004/03/12 11:53
2	1884	("544/224,336,406,407").CCLS	USPAT	2004/03/12 11:53
3	151	("514/183,252.10,255.06").CCLS) and ((("544/224,336,406,407").CCLS)	USPAT	2004/03/12 11:53
4	0	((("514/183,252.10,255.06").CCLS) and ((("544/224,336,406,407").CCLS)) and	USPAT	2004/03/12 11:54
5	0	((("514/183,252.10,255.06").CCLS) and ((("544/224,336,406,407").CCLS)) and	USPAT	2004/03/12 11:54
6	0	((("514/183,252.10,255.06").CCLS) and ((("544/224,336,406,407").CCLS)) and	USPAT	2004/03/12 11:54
7	78	(((("514/183,252.10,255.06").CCLS) and ((("544/224,336,406,407").CCLS)) and	USPAT	2004/03/12 11:54
8	121	(((("514/183,252.10,255.06").CCLS) and ((("544/224,336,406,407").CCLS)) and	USPAT	2004/03/12 11:55
9	76	(((("514/183,252.10,255.06").CCLS) and ((("544/224,336,406,407").CCLS)) and	USPAT	2004/03/12 11:57
10	60	((("544/224,336,406,407").CCLS)) and phenyl ((("514/183,252.10,255.06").CCLS) and ((("544/224,336,406,407").CCLS)) and	USPAT	2004/03/12 11:58
		pyrazine		

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PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

Enter NEWS followed by the item number or name to see news on that specific topic.

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FILE 'HOME' ENTERED AT 11:12:32 ON 12 MAR 2004

=> file reg
COST IN U.S. DOLLARS
SINCE FILE ENTRY TOTAL
SESSION
FULL ESTIMATED COST 0.21 0.21

FILE 'REGISTRY' ENTERED AT 11:12:44 ON 12 MAR 2004
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STRUCTURE FILE UPDATES: 10 MAR 2004 HIGHEST RN 661450-61-9
DICTIONARY FILE UPDATES: 10 MAR 2004 HIGHEST RN 661450-61-9

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

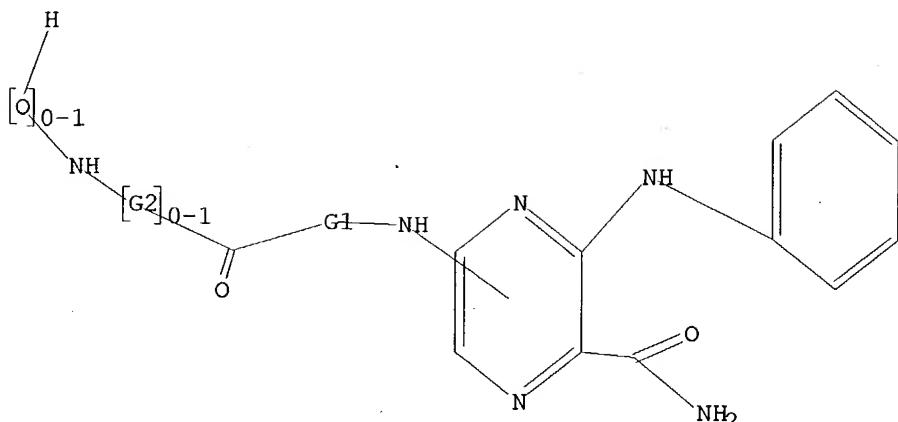
Crossover limits have been increased. See **HELP CROSSOVER** for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>
Uploading c:\program files\stnexp\queries\10009276.5

L1 STRUCTURE UPLOADED

=> d 11
L1 HAS NO ANSWERS
L1 STR



G1 Cb,Cy,Hy,Ak

G2 CH,MeO,EtO,n-PrO,i-PrO,n-BuO,i-BuO,s-BuO,t-BuO,NH,NH2

Structure attributes must be viewed using STN Express query preparation.

=> s 11 sss full
 FULL SEARCH INITIATED 11:13:17 FILE 'REGISTRY'
 FULL SCREEN SEARCH COMPLETED - 61 TO ITERATE

100.0% PROCESSED 61 ITERATIONS 0 ANSWERS
 SEARCH TIME: 00.00.01

L2 0 SEA SSS FUL L1

=> file marpat
 COST IN U.S. DOLLARS SINCE FILE TOTAL
 ENTRY SESSION
 FULL ESTIMATED COST 155.42 155.63

FILE 'MARPAT' ENTERED AT 11:13:23 ON 12 MAR 2004
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FILE CONTENT: 1988-PRESENT (VOL 140 ISS 10) (20040307/ED)

MOST RECENT CITATIONS FOR PATENTS FROM FIVE MAJOR ISSUING AGENCIES
 (COVERAGE TO THESE DATES IS NOT COMPLETE):

US 6683216 27 JAN 2004
 DE 10317487 12 FEB 2004
 EP 1388563 11 FEB 2004
 JP 2004047131 12 FEB 2004
 WO 2004011964 05 FEB 2004

Structure search limits have been raised. See HELP SLIMIT for the new,
 higher limits.

=> s 11 sss full
 FULL SEARCH INITIATED 11:13:31 FILE 'MARPAT'
 FULL SCREEN SEARCH COMPLETED - 5124 TO ITERATE

94.5% PROCESSED	4843 ITERATIONS	4 ANSWERS
100.0% PROCESSED	5124 ITERATIONS	4 ANSWERS
SEARCH TIME: 00.00.25		

L3 4 SEA SSS FUL L1

=> file caold			
COST IN U.S. DOLLARS		SINCE FILE	TOTAL
FULL ESTIMATED COST		ENTRY	SESSION
		109.84	265.47

FILE 'CAOLD' ENTERED AT 11:14:34 ON 12 MAR 2004
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FILE COVERS 1907-1966
 FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

This file supports REG1stRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

=>

=> s 11 sss full
REG1stRY INITIATED
 Substance data SEARCH and crossover from CAS REGISTRY in progress...
 Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

FULL SEARCH INITIATED 11:14:44 FILE 'REGISTRY'
 FULL SCREEN SEARCH COMPLETED - 61 TO ITERATE

100.0% PROCESSED	61 ITERATIONS	0 ANSWERS
SEARCH TIME: 00.00.01		

L4 0 SEA SSS FUL L1

L5 0 L4

=> file caplus			
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FULL ESTIMATED COST	ENTRY	SESSION	
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FILE 'CAPLUS' ENTERED AT 11:14:49 ON 12 MAR 2004
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FILE COVERS 1907 - 12 Mar 2004 VOL 140 ISS 12
 FILE LAST UPDATED: 11 Mar 2004 (20040311/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d his

(FILE 'HOME' ENTERED AT 11:12:32 ON 12 MAR 2004)

FILE 'REGISTRY' ENTERED AT 11:12:44 ON 12 MAR 2004

L1 STRUCTURE uploaded
 L2 0 S L1 SSS FULL

FILE 'MARPAT' ENTERED AT 11:13:23 ON 12 MAR 2004

L3 4 S L1 SSS FULL

FILE 'CAOLD' ENTERED AT 11:14:34 ON 12 MAR 2004
 S L1

FILE 'REGISTRY' ENTERED AT 11:14:43 ON 12 MAR 2004

L4 0 S L1 SSS FULL

FILE 'CAOLD' ENTERED AT 11:14:44 ON 12 MAR 2004
 L5 0 S L4 SSS FULL

FILE 'CAPLUS' ENTERED AT 11:14:49 ON 12 MAR 2004

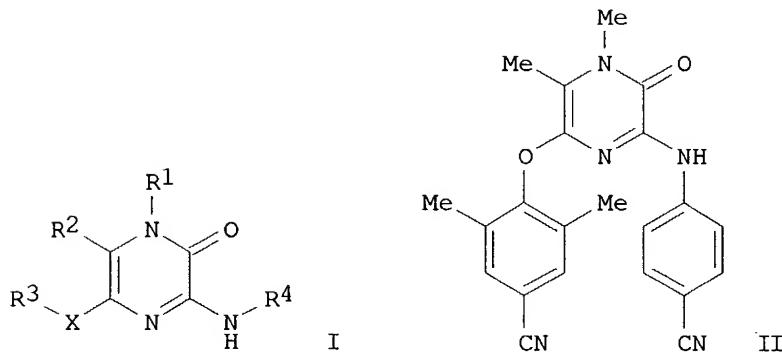
=> s 13
 L6 4 L3

=> d 16 fbib hitstr abs total

L6 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2002:777732 CAPLUS
 DN 137:294978
 TI Preparation of HIV inhibiting pyrazinones
 IN Janssen, Paul Adriaan Jan; Van Aken, Koen Jeanne Alfons; Lewi, Paulus
 Joannes; Koymans, Lucien Maria Henricus; De Jonge, Marc Rene; Heeres, Jan;
 Daeyaert, Frederik Frans Desire; Hoornaert, Georges Joseph Cornelius;
 Compernolle, Frans Josef Cornelius; Kilonda, Amuri
 PA Janssen Pharmaceutica N.V., Belg.
 SO PCT Int. Appl., 52 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002078708	A1	20021010	WO 2002-EP2806	20020313
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 2001-200971 A 20010315				
EP 1370265	A1	20031217	EP 2002-740421	20020313
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EP 2001-200971 A 20010315				
WO 2002-EP2806 W 20020313				
OS MARPAT	137:294978			
GI				



AB The title compds. [I; R1 = H, OH, CN, etc.; R2 = H, halo, SH, etc.; R3, R4 = (un)substituted Ph, pyridyl, pyrimidinyl, etc.; X = O, N=N, NHNH, NR14, alkanediyl, etc.; R14 = H, aryl, formyl, etc.], useful in inhibiting HIV replication, were prepared. Thus, refluxing 5-bromo-3-(4-cyanophenylamino)-

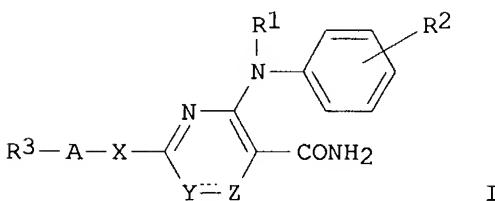
1,6-dimethyl-2(1H)-pyrazinone (preparation given) with 4-hydroxy-3,5-dimethylbenzonitrile in the presence of cesium carbonate, copper(I) chloride, 1-naphthoic acid and mol. sieves 4Å in toluene for 6 days afforded II which showed IC50 of 0.0063 µM against HIV-1.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:881124 CAPLUS
DN **134:42141**
TI Preparation of novel heterocyclic carboxamide derivatives as spleen tyrosine kinase inhibitors
IN Hisamichi, Hiroyuki; Kawazoe, Souichirou; Tanabe, Kazuhito; Ichikawa, Atsushi; Orita, Akiko; Suzuki, Takayuki; Onda, Kenichi; Takeuchi, Makoto
PA Yamanouchi Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 36 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000075113	A1	20001214	WO 2000-JP3767	20000609
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG	JP 1999-162692 A 19990609
	JP 2001055378	A2	20010227	JP 2000-171185	20000607
				JP 1999-162692 A 19990609	
EP	1184376	A1	20020306	EP 2000-935619	20000609
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			JP 1999-162692 A 19990609	
				WO 2000-JP3767 W 20000609	

OS MARPAT 134:42141
GI



AB Nitrogenous six-membered heterocycle compds. bearing as the substituents

-X-A-R3, -N-(R1)-(R2-substituted Ph) and -CONH2 [I; wherein A = (substituted) lower alkylene, (substituted) (hetero)arylene, cycloalkylene; X = NR4, CONR4, NR4CO, O, S; the dotted line between Y and Z represents the presence of a bond (Y:Z) or the absence of a bond (Y-Z); Y-Z = NR5-CO, CO-NR5, NR5-NR5, CO-CO; Y:Z = N:CR1, CR7:N, N:N, CR7:CR7; R4 = each H, lower alkyl, -CO-lower alkyl, or -SO2-lower alkyl; R2 = H, (halo-substituted) lower alkyl, -O-lower alkyl, -S-lower alkyl, -O-aryl, nitro, cyano, or the like; R3 = -CO2H, -CO2-lower alkyl, -lower alkylene-CO2H, -NH2, -alkylene-NH2, or the like; R5 = H, lower alkyl; R6 = lower alkyl, OH, -O-lower alkyl, -O-(substituted) aryl, -O-lower alkylene-(substituted) aryl, -NR1-(substituted) aryl, -CO-lower alkyl-(substituted) aryl; R7 = H, R6] salts or prodrugs thereof are prepared. Also claimed are spleen tyrosine kinase (Syk) inhibitors containing the compds. I or the salts or the prodrugs thereof as the active ingredient. The compds. I are useful for the prevention or treatment of allergies, inflammations, autoimmune diseases, cancers, transplant rejection, graft-vs.-host diseases, and thrombosis. Thus, 2.76 mL cis-1,2-cyclohexanediamine was added to a mixture of 605 mg 6-chloro-2-(3-methylanilino)pyridine-3-carboxamide and 10 mL MeCN and refluxed for 5 days to give 230 mg 6-(cis-2-aminohexylamino)-2-(3-methylanilino)pyrazine-3-carboxamide (II). II showed IC50 of ≤ 0.05 μ M against Syk, good inhibition against passive cutaneous anaphylaxis (PCA) in mice sensitized by anti-dinitrophenyl-IgE (DNP-IgE), and IC50 of ≤ 0.1 μ M against serotonin release according to the assay described by Collado-Escobar (J. Immunol. 144, 1990).

RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6	ANSWER 3 OF 4	CAPLUS	COPYRIGHT 2004 ACS on STN
AN	1997:761738	CAPLUS	
DN 128:48245			
TI	Preparation of benzamidine derivatives as anticoagulants		
IN	Buckman, Brad O.; Davey, David D.; Guilford, William J.; Morrissey, Michael M.; Ng, Howard P.; Phillips, Gary B.; Wu, Shung C.; Xu, Wei		
PA	Berlex Laboratories, Inc., USA		
SO	U.S., 46 pp., Cont.-in-part of U.S. Ser. No. 401,829, abandoned.		
	CODEN: USXXAM		
DT	Patent		
LA	English		
FAN.CNT 2			
	PATENT NO.	KIND	APPLICATION NO. DATE
PI	US 5691364	A	19971125 US 1995-473385 19950607
			US 1995-401829 B219950310
	CA 2214685	AA	19960919 CA 1996-2214685 19960308
			US 1995-401829 A 19950310
			US 1995-473385 A 19950607
	WO 9628427	A1	19960919 WO 1996-US2641 19960308
			W: AU, CA, JP, US
			RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
			US 1995-401829 A 19950310
			US 1995-473385 A219950607
	AU 9652994	A1	19961002 AU 1996-52994 19960308
	AU 707323	B2	19990708 US 1995-401829 A 19950310
			US 1995-473385 A 19950607
			WO 1996-US2641 W 19960308

EP 813525	A1	19971229	EP 1996-909536	19960308
EP 813525	B1	20031001		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
			US 1995-401829 A 19950310	
			US 1995-473385 A 19950607	
			WO 1996-US2641 W 19960308	
AT 251141	E	20031015	AT 1996-909536	19960308
			US 1995-401829 A 19950310	
			US 1995-473385 A 19950607	
US 5877181	A	19990302	WO 1996-US2641 W 19960308	
			US 1997-910774 19970813	
			US 1995-401829 B219950310	
US 5883100	A	19990316	US 1995-473385 A319950607	
			US 1997-910614 19970813	
			US 1995-401829 B219950310	
US 5889005	A	19990330	US 1995-473385 A319950607	
			US 1997-910876 19970813	
			US 1995-401829 B219950310	
US 6034103	A	20000307	US 1995-473385 A319950607	
			US 1997-910609 19970813	
US 6306884	B1	20011023	US 1995-401829 B219950310	
			US 1995-473385 A319950607	
			US 1999-436399 19991108	
			US 1995-401829 B219950310	
			US 1995-473385 A219950607	
			WO 1996-US2641 W 19960308	
US 6350746	B1	20020226	US 1997-913241 A319971208	
			US 1999-457457 19991208	
			US 1995-401829 B219950310	
			US 1995-473385 A319950607	
			US 1997-910609 A319970813	

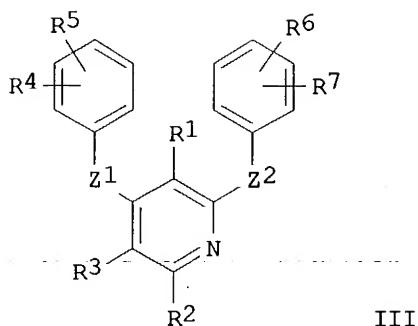
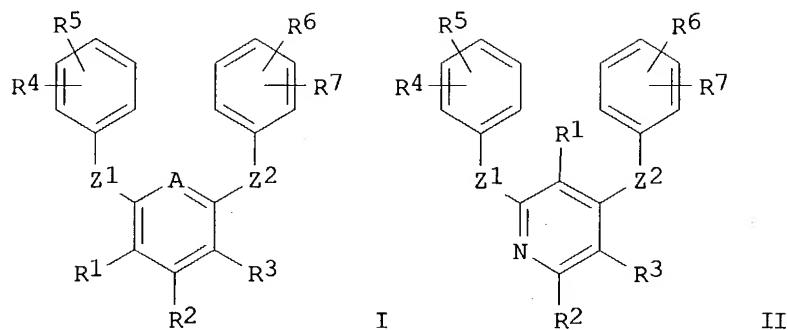
PATENT FAMILY INFORMATION:

FAN 1996:701501

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9628427	A1	19960919	WO 1996-US2641	19960308
	W: AU, CA, JP, US			FR, GB, GR, IE, IT, LU, MC, NL, PT, SE	
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE			US 1995-401829 A 19950310	
				US 1995-473385 A219950607	
	US 5691364	A	19971125	US 1995-473385	19950607
				US 1995-401829 B219950310	
	AU 9652994	A1	19961002	AU 1996-52994	19960308
	AU 707323	B2	19990708		
				US 1995-401829 A 19950310	
				US 1995-473385 A 19950607	
	EP 813525	A1	19971229	WO 1996-US2641 W 19960308	
	EP 813525	B1	20031001	EP 1996-909536	19960308
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI			US 1995-401829 A 19950310	
				US 1995-473385 A 19950607	
	JP 2000515846	T2	20001128	WO 1996-US2641 W 19960308	
				JP 1996-527640 19960308	
				US 1995-401829 A 19950310	

AT 251141	E	20031015	WO 1996-US2641 W 19960308 AT 1996-909536 19960308 US 1995-401829 A 19950310 US 1995-473385 A 19950607 WO 1996-US2641 W 19960308
US 6004981	A	19991221	US 1997-913241 19971208 WO 1996-US2641 W 19960308
US 6306884	B1	20011023	US 1999-436399 19991108 US 1995-401829 B219950310 US 1995-473385 A219950607 WO 1996-US2641 W 19960308 US 1997-913241 A319971208
US 2002028820	A1	20020307	US 2001-924893 20010807
US 6686364	B2	20040203	WO 1996-US2641 W 19960308 US 1997-913241 A319971208 US 1999-436399 A319991108
US 2002035109	A1	20020321	US 2001-924413 20010807
US 6479485	B2	20021112	WO 1996-US2641 W 19960308 US 1997-913241 A319971208 US 1999-436399 A319991108
US 2002032223	A1	20020314	US 2001-924412 20010808
US 6465459	B2	20021015	WO 1996-US2641 W 19960308 US 1997-913241 A319971208 US 1999-436399 A319991108

OS MARPAT 128:48245
GI



AB The title compds. [I-III; A = N; Z1, Z2 = O, S; R1, R3 = H, halo, alkyl, haloalkyl, etc.; R2 = H, halo, alkyl, haloalkyl, etc.; R4, R7 = H, halo, alkyl, NO₂, etc.; R5 = C(:NH)NH₂, C(:NH)NHOR₈, etc.; R6 = (un)substituted (1,2)-imidazolyl or (1,2)-imidazolinyl; R8 = H, alkyl, aryl, etc.] are prepared I-III are useful as anticoagulants for treatment of disease-states characterized by thrombotic activity. Thus, 3,3'-[2,6-pyridinylbis(oxy)]bis(benzonitrile) (preparation given) was treated with HCl to give the title compound 3,3'-[2,6-pyridinylbis(oxy)]bis(benzamidine).2HCl. A formulation containing I-III were prepared

L6 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 1996:701501 CAPLUS

DN **125:328514**

TI Preparation of benzamidine derivatives as anticoagulants

IN Buckman, Brad O.; Davey, David D.; Guilford, William J.; Morrissey, Michael M.; Ng, Howard P.; Phillips, Gary B.; Wu, Shung C.; Xu, Wei

PA Berlex Laboratories, Inc., USA

SO PCT Int. Appl., 123 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9628427	A1	19960919	WO 1996-US2641	19960308
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	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE			US 1995-401829 A	19950310
				US 1995-473385 A	219950607

US 5691364	A	19971125	US 1995-473385 19950607
AU 9652994	A1	19961002	US 1995-401829 B219950310
AU 707323,	B2	19990708	AU 1996-52994 19960308
EP 813525	A1	19971229	US 1995-401829 A 19950310
EP 813525	B1	20031001	US 1995-473385 A 19950607
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI			WO 1996-US2641 W 19960308
JP 2000515846	T2	20001128	EP 1996-909536 19960308
AT 251141	E	20031015	US 1995-401829 A 19950310
US 6004981	A	19991221	US 1995-473385 A 19950607
US 6306884	B1	20011023	WO 1996-US2641 W 19960308
US 2002028820	A1	20020307	US 1997-913241 19971208
US 6686364	B2	20040203	WO 1996-US2641 W 19960308
US 2002035109	A1	20020321	US 1997-913241 A319971208
US 6479485	B2	20021112	US 1999-436399 A319991108
US 2002032223	A1	20020314	US 2001-924413 20010807
US 6465459	B2	20021015	WO 1996-US2641 W 19960308
			US 1997-913241 A319971208
			US 1999-436399 A319991108
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			WO 1996-US2641 W 19960308
			US 1997-913241 A319971208
			US 1999-436399 A319991108

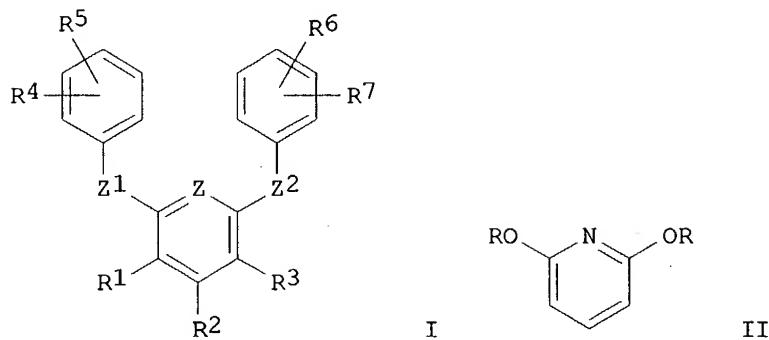
PATENT FAMILY INFORMATION:

FAN 1997:761738

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5691364	A	19971125	US 1995-473385	19950607
	CA 2214685	AA	19960919	US 1995-401829	B219950310
	WO 9628427	A1	19960919	CA 1996-2214685	19960308
	W: AU, CA, JP, US			US 1995-401829	A 19950310
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE			US 1995-473385	A 19950607
				WO 1996-US2641	19960308
				US 1995-401829	A 19950310
				US 1995-473385	A219950607

AU 9652994	A1	19961002	AU 1996-52994	19960308
AU 707323	B2	19990708	US 1995-401829 A 19950310	
			US 1995-473385 A 19950607	
			WO 1996-US2641 W 19960308	
EP 813525	A1	19971229	EP 1996-909536	19960308
EP 813525	B1	20031001		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
AT 251141	E	20031015	US 1995-401829 A 19950310	
			US 1995-473385 A 19950607	
			WO 1996-US2641 W 19960308	
US 5877181	A	19990302	AT 1996-909536	19960308
			US 1995-401829 A 19950310	
			US 1995-473385 A 19950607	
US 5883100	A	19990316	WO 1996-US2641 W 19960308	
			US 1997-910774	19970813
			US 1995-401829 B219950310	
			US 1995-473385 A319950607	
US 5889005	A	19990330	US 1997-910614	19970813
			US 1995-401829 B219950310	
			US 1995-473385 A319950607	
US 6034103	A	20000307	US 1997-910876	19970813
			US 1995-401829 B219950310	
			US 1995-473385 A319950607	
US 6306884	B1	20011023	US 1997-910609	19970813
			US 1995-401829 B219950310	
			US 1995-473385 A319950607	
US 6350746	B1	20020226	US 1999-436399	19991108
			US 1995-401829 B219950310	
			US 1995-473385 A219950607	
			WO 1996-US2641 W 19960308	
			US 1997-913241 A319971208	
			US 1999-457457	19991208
			US 1995-401829 B219950310	
			US 1995-473385 A319950607	
			US 1997-910609 A319970813	

OS MARPAT 125:328514
GI



AB Title compds., e.g., I [R1,R3 = H, halo, alkyl, alkoxy, etc.; R2 = H,

halo, alkyl, OR8, etc.; R4,R7 = H, halo, alkyl, OR8, etc.; R5 = C(:NH)NH2, C(:NH)NHOR8, C(:NH)NHCOR8, etc.; R6 = halo, alkyl, haloalkoxy, etc.; R8 = H, (ar)alkyl, aryl; Z = CR11 or N; R11 = H, halo, alkyl; Z1,Z2 = O, NR8, S, OCH2] were prepared as anticoagulants (no data). Thus, 2,6-difluoropyridine was bis-etherified bu 3-(NC)C6H4OH and the product treated successively with HCl and NH3 to give title compound II.2HCl [R = C6H4[C(:NH)NH2]-3].

=> s syk inhibitors and allergy
L7 2 SYK INHIBITORS AND ALLERGY

=> s syl inhibitors and diseases
L8 0 SYL INHIBITORS AND DISEASES

=> s syk inhibitors and diseases
L9 2 SYK INHIBITORS AND DISEASES

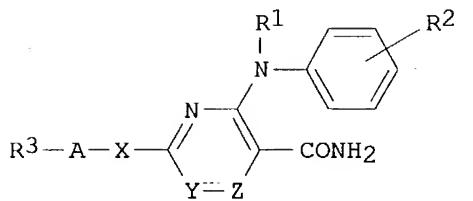
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L10 1 L7 AND L9

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L10 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:881124 CAPLUS
DN 134:42141
TI Preparation of novel heterocyclic carboxamide derivatives as spleen tyrosine kinase inhibitors
IN Hisamichi, Hiroyuki; Kawazoe, Souichirou; Tanabe, Kazuhito; Ichikawa, Atsushi; Orita, Akiko; Suzuki, Takayuki; Onda, Kenichi; Takeuchi, Makoto
PA Yamanouchi Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 36 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000075113	A1	20001214	WO 2000-JP3767	20000609
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				JP 1999-162692 A 19990609
JP	2001055378	A2	20010227	JP 2000-171185	20000607
				JP 1999-162692 A 19990609	
EP	1184376	A1	20020306	EP 2000-935619	20000609
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				JP 1999-162692 A 19990609
OS	MARPAT 134:42141			WO 2000-JP3767	W 20000609

GI



AB Nitrogenous six-membered heterocycle compds. bearing as the substituents -X-A-R3, -N-(R1)-(R2-substituted Ph) and -CONH2 [I; wherein A = (substituted) lower alkylene, (substituted) (hetero)arylene, cycloalkylene; X = NR4, CONR4, NR4CO, O, S; the dotted line between Y and Z represents the presence of a bond (Y:Z) or the absence of a bond (Y-Z); Y-Z = NR5-CO, CO-NR5, NR5-NR5, CO-CO; Y:Z = N:CR1, CR7:N, N:N, CR7:CR7; R4 = each H, lower alkyl, -CO-lower alkyl, or -SO2-lower alkyl; R2 = H, (halo-substituted) lower alkyl, -O-lower alkyl, -S-lower alkyl, -O-aryl, nitro, cyano, or the like; R3 = -CO2H, -CO2-lower alkyl, -lower alkylene-CO2H, -NH2, -alkylene-NH2, or the like; R5 = H, lower alkyl; R6 = lower alkyl, OH, -O-lower alkyl, -O-(substituted) aryl, -O-lower alkylene-(substituted) aryl, -NR1-(substituted) aryl, -CO-lower alkyl-(substituted) aryl; R7 = H, R6] salts or prodrugs thereof are prepared. Also claimed are spleen tyrosine kinase (Syk) **inhibitors** containing the compds. I or the salts or the prodrugs thereof as the active ingredient. The compds. I are useful for the prevention or treatment of **allergies**, inflammations, autoimmune **diseases**, cancers, transplant rejection, graft-vs.-host **diseases**, and thrombosis. Thus, 2.76 mL cis-1,2-cyclohexanediamine was added to a mixture of 605 mg 6-chloro-2-(3-methylanilino)pyridine-3-carboxamide and 10 mL MeCN and refluxed for 5 days to give 230 mg 6-(cis-2-aminoethylamino)-2-(3-methylanilino)pyrazine-3-carboxamide (II). II showed IC50 of \leq 0.05 μ M against Syk, good inhibition against passive cutaneous anaphylaxis (PCA) in mice sensitized by anti-dinitrophenyl-IgE (DNP-IgE), and IC50 of \leq 0.1 μ M against serotonin release according to the assay described by Collado-Escobar (J. Immunol. 144, 1990).

RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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(FILE 'HOME' ENTERED AT 11:12:32 ON 12 MAR 2004)

FILE 'REGISTRY' ENTERED AT 11:12:44 ON 12 MAR 2004

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L3 4 S L1 SSS FULL

FILE 'CAOLD' ENTERED AT 11:14:34 ON 12 MAR 2004

S L1

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FILE 'CAOLD' ENTERED AT 11:14:44 ON 12 MAR 2004
 L5 0 S L4 SSS FULL

FILE 'CAPLUS' ENTERED AT 11:14:49 ON 12 MAR 2004
 L6 4 S L3
 L7 2 S SYK INHIBITORS AND ALLERGY
 L8 0 S SYL INHIBITORS AND DISEASES
 L9 2 S SYK INHIBITORS AND DISEASES
 L10 1 S L7 AND L9

=> d 17 fbib hitstr abs total

L7 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 2003:634677 CAPLUS
 TI Synthetic studies on heteroaryl carboxamide derivatives as novel
Syk inhibitors
 AU Hisamichi, Hiroyuki; Kawazoe, Souichirou; Naito, Ryo; Toyoshima, Akira;
 Ichikawa, Atsushi; Orita, Akiko; Orita, Masaya; Nakai, Ei-ichi; Takeuchi,
 Makoto; Ohta, Mitsuaki; Tsukamoto, Shin-ichi
 CS Institute for Drug Discovery Research, Yamanouchi Pharmaceutical Co., Ltd,
 Tsukuba, Ibaraki, 3058585, Japan
 SO Abstracts of Papers, 226th ACS National Meeting, New York, NY, United
 States, September 7-11, 2003 (2003), MEDI-068 Publisher: American Chemical
 Society, Washington, D. C.
 CODEN: 69EKY9
 DT Conference; Meeting Abstract
 LA English
 AB As a part of searching for spleen tyrosine kinase (**Syk**)
inhibitors as potential therapeutic agents for **allergy**,
 heteroaryl carboxamide derivs. were synthesized and evaluated for
 inhibitory activities to Syk and to antigen-induced serotonin release from
 RBL-2H3 cells. Among these compds., pyrimidine-5-carboxamide derivs. and
 pyrazine-2-carboxamide derivs. showed excellent Syk inhibitory activities
 with IC50 values below 10 nM and serotonin release inhibitory activities
 with IC50 values below 30 nM. Some of these compds. also exhibited
 inhibitory activities on passive cutaneous anaphylaxis model in mice
 (ID50=10-30 mg/kg, p.o.). These compds., therefore, would be expected as
 a drug for the treatment of allerg, TM. The synthesis and
 structure-activity relationships of these compds. will be presented.

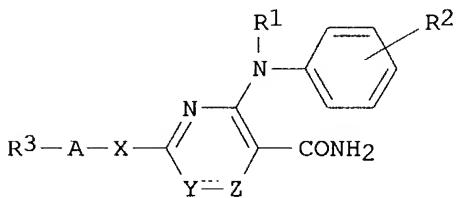
L7 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 2000:881124 CAPLUS
 DN 134:42141
 TI Preparation of novel heterocyclic carboxamide derivatives as spleen
 tyrosine kinase inhibitors
 IN Hisamichi, Hiroyuki; Kawazoe, Souichirou; Tanabe, Kazuhito; Ichikawa,
 Atsushi; Orita, Akiko; Suzuki, Takayuki; Onda, Kenichi; Takeuchi, Makoto
 PA Yamanouchi Pharmaceutical Co., Ltd., Japan
 SO PCT Int. Appl., 36 pp.
 CODEN: PIXXD2
 DT Patent
 LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000075113	A1	20001214	WO 2000-JP3767	20000609
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			JP 1999-162692 A	19990609
JP	2001055378	A2	20010227	JP 2000-171185	20000607
				JP 1999-162692 A	19990609
EP	1184376	A1	20020306	EP 2000-935619	20000609
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			JP 1999-162692 A	19990609
				WO 2000-JP3767 W	20000609

OS MARPAT 134:42141

GI



AB Nitrogenous six-membered heterocycle compds. bearing as the substituents -X-A-R3, -N-(R1)-(R2-substituted Ph) and -CONH2 [I; wherein A = (substituted) lower alkylene, (substituted) (hetero)arylene, cycloalkylene; X = NR4, CONR4, NR4CO, O, S; the dotted line between Y and Z represents the presence of a bond (Y:Z) or the absence of a bond (Y-Z); Y-Z = NR5-CO, CO-NR5, NR5-NR5, CO-CO; Y:Z = N:CR1, CR7:N, N:N, CR7:CR7; R4 = each H, lower alkyl, -CO-lower alkyl, or -SO2-lower alkyl; R2 = H, (halo-substituted) lower alkyl, -O-lower alkyl, -S-lower alkyl, -O-aryl, nitro, cyano, or the like; R3 = -CO2H, -CO2-lower alkyl, -lower alkylene-CO2H, -NH2, -alkylene-NH2, or the like; R5 = H, lower alkyl; R6 = lower alkyl, OH, -O-lower alkyl, -O-(substituted) aryl, -O-lower alkylene-(substituted) aryl, -NR1-(substituted) aryl, -CO-lower alkyl-(substituted) aryl; R7 = H, R6] salts or prodrugs thereof are prepared. Also claimed are spleen tyrosine kinase (Syk) inhibitors containing the compds. I or the salts or the prodrugs thereof as the active ingredient. The compds. I are useful for the prevention or treatment of **allergies**, inflammations, autoimmune diseases, cancers, transplant rejection, graft-vs.-host diseases, and thrombosis. Thus, 2.76 mL cis-1,2-cyclohexanediamine was added to a mixture of 605 mg 6-chloro-2-(3-methylanilino)pyridine-3-carboxamide and 10 mL MeCN and refluxed for 5 days to give 230 mg 6-(cis-2-aminohexylamino)-2-(3-methylanilino)pyrazine-3-carboxamide (II). II showed IC50 of ≤0.05.

μ M against Syk, good inhibition against passive cutaneous anaphylaxis (PCA) in mice sensitized by anti-dinitrophenyl-IgE (DNP-IgE), and IC50 of ≤ 0.1 μ M against serotonin release according to the assay described by Collado-Escobar (J. Immunol. 144, 1990).

RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	49.80	471.53
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-4.85	-4.85

STN INTERNATIONAL LOGOFF AT 11:18:45 ON 12 MAR 2004